

## (12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
10 June 2004 (10.06.2004)

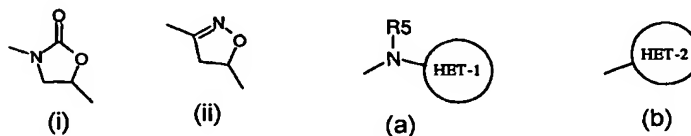
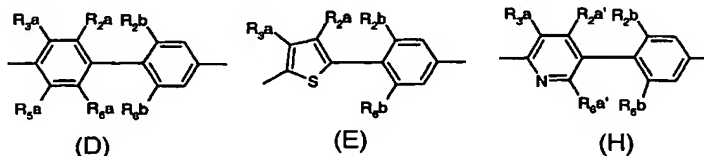
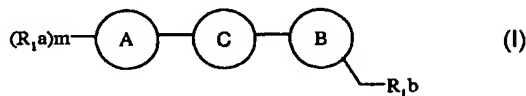
PCT

(10) International Publication Number  
WO 2004/048392 A1

- (51) International Patent Classification<sup>7</sup>: C07F 7/18, C07D 413/14, 413/10, 417/14, C07F 9/09, A61K 31/422, 31/4439, A61P 31/04
- (21) International Application Number: PCT/GB2003/005087
- (22) International Filing Date: 24 November 2003 (24.11.2003)
- (25) Filing Language: English
- (26) Publication Language: English
- (30) Priority Data:  
0227702.8 28 November 2002 (28.11.2002) GB  
0304725.5 1 March 2003 (01.03.2003) GB  
0318608.7 8 August 2003 (08.08.2003) GB
- (72) Inventors; and  
(75) Inventors/Applicants (for US only): CARCANAGUE, Daniel, Robert [US/US]; AstraZeneca R & D Boston, 35 Gatehouse Drive, Waltham, MA 02451 (US). GRAVE-STOCK, Michael, Barry [GB/US]; AstraZeneca R & D Boston, 35 Gatehouse Drive, Waltham, MA 02451 (US). HALES, Neil, James [GB/GB]; AstraZeneca R & D Alderley, Alderley Park, Macclesfield, Cheshire SK10 4TG (GB). HAUCK, Sheila, Irene [US/US]; AstraZeneca R & D Boston, 35 Gatehouse Drive, Waltham, MA 02451 (US). WEBER, Thomas, Peter [DE/US]; AstraZeneca R & D Boston, 35 Gatehouse Drive, Waltham, MA 02451 (US).
- (74) Agent: ASTRAZENECA; Global Intellectual Property, P O Box 272, Mereside, Alderley Park, Macclesfield, Cheshire SK10 4GR (GB).
- (71) Applicant (for all designated States except MG, US): ASTRAZENECA AB [SE/SE]; Sodertalje, S-151 85 (SE).
- (71) Applicant (for MG only): ASTRAZENECA UK LIMITED [GB/GB]; 15 Stanhope Gate, London, Greater London W1K 1LN (GB).
- (81) Designated States (national): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,

[Continued on next page]

(54) Title: OXAZOLIDINONE AND / OR ISOXAZOLINE DERIVATIVES AS ANTIBACTERIAL AGENTS



(57) Abstract: A compound of the formula (I), or a pharmaceutically-acceptable salt, or in-vivo hydrolysable ester thereof: formula (I) wherein in (I) C is for example formula (D), formula (E), formula (H) wherein A and B are independently selected from (i) formula (J) and (ii) formula (K) m is 1 or 2; R<sub>2b</sub> and R<sub>6b</sub>, R<sub>2a</sub> and R<sub>6a</sub>, R<sub>3a</sub> and R<sub>5a</sub>, are for example selected from H, F, OMe and Me; R<sub>2b</sub>' and R<sub>6b</sub>', R<sub>2a</sub>' and R<sub>6a</sub>', R<sub>3a</sub>', R<sub>5a</sub>' are for example selected from H, OMe and Me; R<sub>1a</sub> is for example optionally substituted (1-10C)alkyl; R<sub>1b</sub> is for example selected from -NR<sub>5</sub>C(=W)R<sub>4</sub>, formula (a), or formula (b) wherein HET-1 is for example isoxazolyl and HET-2 is for example triazolyl or tetrazolyl. Methods for making compounds of the formula (I), compositions containing them and their use as antibacterial agents are also described.



RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,  
TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

- (84) **Designated States (regional):** ARIPO patent (BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

**Published:**

- with international search report
- before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

*For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.*